

Serial Number 09/994,971

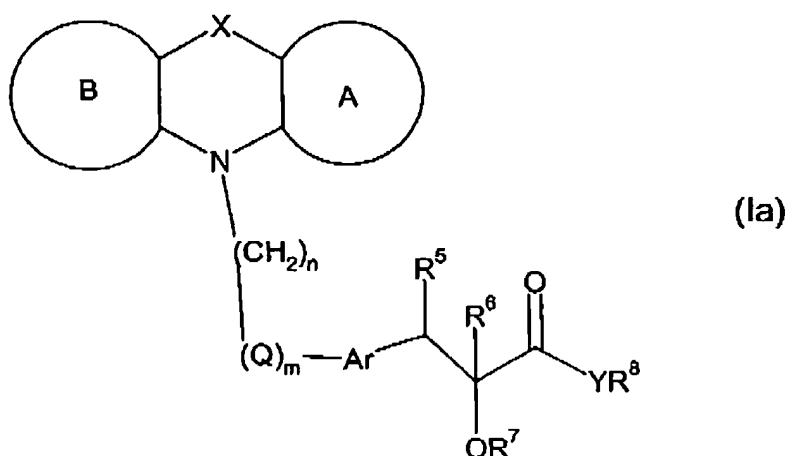
Express Mail Number: EV 246877571 US

Inventor: Jeppesen et al.

CLAIMS LISTING

Claims:

1. (Currently amended) A compound of formula (Ia)



wherein ring A, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro, cyano, formyl, or C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl, C₁₋₁₂alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC₁₋₁₂alkyl, amino, acylamino, C₁₋₁₂alkyl-amino, arylamino, aralkylamino, aminoC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonyl, aryloxy carbonyl, aralkoxycarbonyl, C₁₋₁₂alkoxyC₁₋₁₂alkyl, aryloxyC₁₋₁₂alkyl, aralkoxyC₁₋₁₂alkyl, C₁₋₁₂alkylthio, thioC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonylamino, aryloxy carbonylamino, aralkoxycarbonylamino, -COR¹¹, or -SO₂R¹², wherein R¹¹ and R¹² independently of each other are selected from hydroxy, halogen, perhalomethyl, C₁₋₆alkoxy or amino optionally substituted with one or more C₁₋₆alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

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ring B, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro, cyano, formyl, or C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl, C₁₋₁₂alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC₁₋₁₂alkyl, amino, acylamino, C₁₋₁₂alkyl-amino, arylamino, aralkylamino, aminoC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, C₁₋₁₂alkoxyC₁₋₁₂alkyl, aryloxyC₁₋₁₂alkyl, aralkoxyC₁₋₁₂alkyl, C₁₋₁₂alkylthio, thioC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR¹¹, or -SO₂R¹², wherein R¹¹ and R¹² independently of each other are selected from hydroxy, halogen, perhalomethyl, C₁₋₆alkoxy or amino optionally substituted with one or more C₁₋₆alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

X is -O-(CHR⁹)-, -S-(CHR⁹)-, -(NR⁹)-CH₂-, -CH₂-(SO)-, -CH₂-(SO₂)-, -CH₂-O-CH₂-, wherein R⁹ is hydrogen, halogen, hydroxy, nitro, cyano, formyl, C₁₋₁₂alkyl, C₁₋₁₂alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyalkyl, amino, acylamino, C₁₋₁₂alkyl-amino, arylamino, aralkylamino, aminoC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonyl, aryloxycarbonyl, aralkoxycarbonyl, C₁₋₁₂alkoxyC₁₋₁₂alkyl, aryloxyC₁₋₁₂alkyl, aralkoxyC₁₋₁₂alkyl, C₁₋₁₂alkylthio, thioC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR¹¹, or -SO₂R¹², wherein R¹¹ and R¹² independently of each other are selected from hydroxy, halogen, C₁₋₆alkoxy, amino optionally substituted with one or more C₁₋₆alkyl, perhalomethyl or aryl;

Q is -O-, -S-, >SO₂, >NR¹³, wherein R¹³ is hydrogen or C₁₋₆alkyl,

Ar represents arylene, heteroarylene, or a divalent heterocyclic group optionally substituted with one or more C₁₋₆alkyl or aryl;

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R⁵ represents hydrogen, hydroxy, halogen, C₁₋₁₂alkoxy, C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl or aralkyl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano; or R⁵ forms a bond together with R⁶,

R⁶ represents hydrogen, hydroxy, halogen, C₁₋₁₂alkoxy, C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl, acyl or aralkyl; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano; or R⁶ forms a bond together with R⁵,

R⁷ represents hydrogen, C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl, aryl, aralkyl, C₁₋₁₂alkoxyC₁₋₁₂alkyl, C₁₋₁₂alkoxycarbonyl, aryloxycarbonyl, C₁₋₁₂alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl or heteroaralkyl groups, optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

R⁸ represents hydrogen, C₁₋₁₂alkyl, C₄₋₁₂-alkenynyl, C₂₋₁₂-alkenyl, C₂₋₁₂-alkynyl, aryl, aralkyl, heterocyclyl, heteroaryl or heteroaralkyl groups; optionally substituted with one or more halogen, perhalomethyl, hydroxy, nitro or cyano;

Y represents oxygen, sulphur or NR¹⁰, where R¹⁰ represents hydrogen, C₁₋₁₂alkyl, aryl, hydroxyC₁₋₁₂alkyl or aralkyl groups or when Y is NR¹⁰, R⁸ and R¹⁰ may form a 5 or 6 membered nitrogen containing ring, optionally substituted with one or more C₁₋₆alkyl;

n is an integer ranging from 1 to 4 and m is an integer ranging from 0 to 1,

provided that A or B does not represent phenyl;

or a pharmaceutically acceptable salt thereof.

2. (Previously presented) The compound according to claim 1, wherein ring A, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more hydrogen, halogen, perhalomethyl, hydroxy, cyano, or C₁₋₇alkyl, C₄₋₇-alkenynyl, C₂₋₇-alkenyl, C₂₋₇-alkynyl, C₁₋

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alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC₁₋₇alkyl, amino, acylamino, C₁₋₇alkyl-amino, arylamino, aralkylamino, aminoC₁₋₇alkyl, C₁₋₇alkoxyC₁₋₇alkyl, aryloxyC₁₋₇alkyl, aralkoxyC₁₋₇alkyl, C₁₋₇alkylthio, thioC₁₋₇alkyl, C₁₋₇alkoxycarbonylamino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR¹¹, or -SO₂R¹², wherein R¹¹ and R¹² independently of each other are selected from hydroxy, perhalomethyl or amino optionally substituted with one or more C₁₋₆alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy or cyano.

3. (Cancelled)

4. (Cancelled)

5. (Cancelled)

6. (Cancelled)

7. (Previously presented) The compound according to claim 1, wherein ring B, fused to the ring containing X and N, represents a 5-6 membered cyclic ring optionally substituted with one or more hydrogen, halogen, perhalomethyl, hydroxy, cyano, or C₁₋₇alkyl, C₄₋₇-alkenynyl, C₂₋₇-alkenyl, C₂₋₇-alkynyl, C₁₋₇alkoxy, aryl, aryloxy, aralkyl, aralkoxy, heterocyclyl, heteroaryl, heteroaralkyl, heteroaryloxy, heteroaralkoxy, acyl, acyloxy, hydroxyC₁₋₇alkyl, amino, acylamino, C₁₋₇alkyl-amino, arylamino, aralkylamino, aminoC₁₋₇alkyl, C₁₋₇alkoxyC₁₋₇alkyl, aryloxyC₁₋₇alkyl, aralkoxyC₁₋₇alkyl, C₁₋₇alkylthio, thioC₁₋₇alkyl, C₁₋₇alkoxycarbonyl-amino, aryloxycarbonylamino, aralkoxycarbonylamino, -COR¹¹, or -SO₂R¹², wherein R¹¹ and R¹² independently of each other are selected from hydroxy, perhalomethyl or amino optionally substituted with one or more

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C₁₋₆alkyl, perhalomethyl or aryl; optionally substituted with one or more halogen, perhalomethyl, hydroxy or cyano.

8. (Cancelled)

9. (Cancelled)

10. (Cancelled)

11. (Cancelled)

12. (Cancelled)

13. (Cancelled)

14. (Cancelled)

15. (Cancelled)

16. (Previously presented) The compound according to claim 1 wherein Q is -O- or -S-.

17. (Cancelled)

18. (Previously presented) The compound according to claim 1 wherein Ar represents arylene, heteroarylene, or a divalent heterocyclic group optionally substituted with one or more C₁₋₆alkyl or aryl;

R⁵ represents hydrogen, hydroxy, halogen, C₁₋₇alkoxy, C₁₋₇alkyl, C₄₋₇-alkenynyl, C₂₋₇-alkenyl, C₂₋₇-alkynyl; or R⁵ forms a bond together with R⁶,

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R^6 represents hydrogen, hydroxy, halogen, C_{1-7} alkoxy, C_{1-7} alkyl, C_{4-7} alkenynyl, C_{2-7} alkenyl, C_{2-7} alkynyl; or R^6 forms a bond together with R^5 ,
 R^7 represents hydrogen, C_{1-7} alkyl, C_{4-7} alkenynyl, C_{2-7} alkenyl, C_{2-7} alkynyl, aryl, aralkyl, C_{1-7} alkoxy C_{1-7} alkyl, C_{1-7} alkoxycarbonyl, aryloxycarbonyl, C_{1-7} alkylaminocarbonyl, arylaminocarbonyl, acyl, heterocyclyl, heteroaryl or heteroaralkyl groups;
 R^8 represents hydrogen, C_{1-7} alkyl, C_{4-7} alkenynyl, C_{2-7} alkenyl, C_{2-7} alkynyl, aryl, aralkyl, heterocyclyl, heteroaryl or heteroaralkyl;
Y represents oxygen, sulphur or NR^{10} , where R^{10} represents hydrogen, C_{1-7} alkyl, hydroxy C_{1-7} alkyl;
n is an integer ranging from 2 to 3 and m is an integer ranging from 0 to 1.

19. (Cancelled)

20. (Cancelled)

21. (Cancelled)

22. (Cancelled)

23. (Previously presented) The compound according to claim 1 wherein A is 5 membered cyclic ring containing S.

24. (Previously presented) The compound according to claim 1 wherein B is 5 membered cyclic ring containing S.

25. (Cancelled)

26. (Previously presented) The compound according to claim 1 wherein n is 2.

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27. (Previously presented) The compound according to claim 1 wherein Q is -O-.
28. (Previously presented) The compound according to claim 1 wherein m is 1.
29. (Previously presented) The compound according to claim 1 wherein Ar is phenylene.
30. (Previously presented) The compound according to claim 1 wherein R⁶ is H.
31. (Previously presented) The compound according to claim 1 wherein R⁷ is ethyl.
32. (Previously presented) The compound according to claim 1 wherein Y is oxygen.
33. (Previously presented) The compound according to claim 1 wherein R⁸ is H.
34. (Cancelled)
35. (Cancelled)
36. (Previously presented) A pharmaceutical composition comprising as an active ingredient, the compound according to claim 1 or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier or diluent.
37. (Cancelled)
38. (Cancelled)
39. (Cancelled)
40. (Cancelled)

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41. (Cancelled)

42. (Cancelled)

43. (Previously presented) A method for the treatment of conditions mediated by nuclear receptors, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

44. (Previously presented) A method for the treatment of diabetes, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

45. (Cancelled)

46. (Cancelled)

47. (Cancelled)

48. (Cancelled)

49. (Cancelled)

50. (Previously presented) The pharmaceutical composition of claim 36, wherein the compound is in a unit dosage form in the amount of between 0.05 to about 100 mg.

51. (Previously presented) The pharmaceutical composition of claim 36, wherein the compound is in a unit dosage form in the amount of between 0.1 to about 50 mg.

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52. (Previously presented) The method of claim 44, wherein the compound is administered by oral, nasal, transdermal, pulmonary, or parenteral administration.

53. (Previously presented) A method for the treatment of obesity, the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.

54. (Previously presented) The method of claim 53, wherein the compound is administered by oral, nasal, transdermal, pulmonary, or parenteral administration.

55. (Previously presented) A method for the treatment of conditions mediated by the Peroxisome Proliferator-Activated Receptors (PPAR), the method comprising administering to a subject in need thereof an effective amount of the compound according to claim 1 or a pharmaceutically acceptable salt thereof.